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* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * * *
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				enhanced
NEWS	4	APR	07	STN is raising the limits on saved answers
NEWS	5	APR	24	CA/CAplus now has more comprehensive patent assignee
				information
NEWS	6	APR	26	USPATFULL and USPAT2 enhanced with patent
				assignment/reassignment information
NEWS	7	APR	28	CAS patent authority coverage expanded
NEWS		APR		ENCOMPLIT/ENCOMPLIT2 search fields enhanced
NEWS	9	APR	28	Limits doubled for structure searching in CAS
	-			REGISTRY
NEWS	10	MAY	0.8	STN Express, Version 8.4, now available
NEWS		MAY		STN on the Web enhanced
NEWS				BEILSTEIN substance information now available on
				STN Easy
NEWS	1.3	MAY	14	DGENE, PCTGEN and USGENE enhanced with increased
				limits for exact sequence match searches and
				introduction of free HIT display format
NEWS	1.4	MAY	15	INPADOCDB and INPAFAMDB enhanced with Chinese legal
112110				status data
NEWS	15	MAY	28	CAS databases on STN enhanced with NANO super role in
				records back to 1992
NEWS	16	JUN	0.1	CAS REGISTRY Source of Registration (SR) searching
				enhanced on STN
NEWS	17	.TIIN	26	NUTRACEUT and PHARMAML no longer updated
NEWS				IMSCOPROFILE now reloaded monthly
NEWS		JUN		EPFULL adds Simultaneous Left and Right Truncation
				(SLART) to AB, MCLM, and TI fields
NEWS	20	JUL	0.9	PATDPAFULL adds Simultaneous Left and Right
	20	002	0,5	Truncation (SLART) to AB, CLM, MCLM, and TI fields
NEWS	21	TIII.	14	USGENE enhances coverage of patent sequence location
HEND		001	4.4	(PSL) data
NEWS	22	TIII.	14	
HEIND		001		features
NEWS	23	TITI.	16	
1,2110	20	СОП	- 0	obrobb dado pacene baentite data to 1000
MEMS	EVPE	PPSS	MAY	26 09 CURRENT WINDOWS VERSION IS V8.4,
MEMB	DAFI	VED 50		CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.
			THILD	CORREST DISCOVER FIRE TO DATED OF AFRIE 2009.

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=> fil reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.22 0.22

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DICTIONARY FILE UPDATES: 16 JUL 2009 HIGHEST RN 1163859-78-6

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

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http://www.cas.org/support/stngen/stndoc/properties.html

= >

Uploading C:\Program Files\Stnexp\Queries\10598070a.str

```
chain nodes :
18 19 22 23 24
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15 16 29 30 31 32 33 34
ring/chain nodes :
10
chain bonds :
1-10 5-19 7-18 8-11 22-23 23-24
ring bonds :
1-2 \ \ 1-6 \ \ 2-3 \ \ 2-7 \ \ 3-4 \ \ 3-9 \ \ 4-5 \ \ 5-6 \ \ 7-8 \ \ 8-9 \ \ 11-12 \ \ 11-16 \ \ 12-13 \ \ 13-14 \ \ 14-15
15-16 29-30 29-34 30-31 31-32 32-33 33-34
exact/norm bonds :
1-10 3-9 5-19 7-18 8-9 23-24
exact bonds :
2-7 7-8 8-11 22-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 29-30
29-34 30-31 31-32 32-33 33-34
isolated ring systems :
containing 1 : 11 :
```

G1:H,CH3

G2:0,OH,N,Hy,Ak

Match level: 1:1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 18:CLASS 19:CLASS 22:CLASS 23:CLASS 24:CLASS 28:Atom 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR

G2 N N G1

G1 H, Me G2 O, OH, N, Hy, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sam

SAMPLE SEARCH INITIATED 08:05:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 287 TO ITERATE

100.0% PROCESSED 287 ITERATIONS SEARCH TIME: 00.00.01 9 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 4724 TO 6756 PROJECTED ANSWERS: 9 TO 360

L2 9 SEA SSS SAM L1

=> s 11 ful

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END;y FULL SEARCH INITIATED 08:05:36 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 6728 TO ITERATE

100.0% PROCESSED 6728 ITERATIONS SEARCH TIME: 00.00.01 320 ANSWERS

DEFINION 111EE. 00.00.01

L3 320 SEA SSS FUL L1

=> fil capl

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 185.88 186.10

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=> s 13L4 116 L3

=> fil req COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.50 186.60

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

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```
chain nodes :
18 19 22 23 24
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15 16 29 30 31 32 33 34
ring/chain nodes :
10
chain bonds :
1-10 5-19 7-18 8-11 22-23 23-24
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-16 12-13 13-14 14-15
15-16 29-30 29-34 30-31 31-32 32-33 33-34
exact/norm bonds :
1-10 3-9 5-19 7-18 8-9 23-24
exact bonds :
2-7 7-8 8-11 22-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 29-30 29-34 30-31 31-32 32-33 33-34
isolated ring systems :
containing 1 : 11 :
```

G1:H,CH3

G2:O,OH,N,Hv,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 18:CLASS 19:CLASS 22:CLASS 23:CLASS 24:CLASS 28:Atom 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS

L5 STRUCTURE UPLOADED

=> d L5 HAS NO ANSWERS STR

G1 H, Me G2 O, OH, N, Hy, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 15 sub=13

ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 44.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END:v FULL SUBSET SEARCH INITIATED 08:07:13 FILE 'REGISTRY' FULL SUBSET SCREEN SEARCH COMPLETED -314 TO ITERATE

100.0% PROCESSED 314 ITERATIONS 247 ANSWERS

SEARCH TIME: 00.00.01

247 SEA SUB=L3 SSS FUL L5

=> d scan

L6 247 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Butanamide, N-hydroxy-4-[[1-[[4-[[(1R)-1-phenylethyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-4-piperidinyl]amino]-

MF C30 H37 N7 O2

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L6 247 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Propanamide, N-hydroxy-3-[[[4-[4-[[(1R)-1-phenylethyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]amino]-

MF C24 H26 N6 O2

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L6
- IN
- 247 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN 7H-Pyrrolo[2,3-d]pyrimidin-4-amin-pyrrolognamethylphenyl]methyl]-6-[4-(1-pyrrolidinylmethyl)phenyl]-
- MF C25 H27 N5

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 247 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,

N-[(1R)-1-(4-chlorophenyl)ethyl]-6-[4-(4-morpholinylmethyl)phenyl]-

MF C25 H26 C1 N5 O

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> d hist

(FILE 'HOME' ENTERED AT 08:04:27 ON 18 JUL 2009)

FILE 'REGISTRY' ENTERED AT 08:05:01 ON 18 JUL 2009

STRUCTURE UPLOADED

9 S L1 SAM L2 L3 320 S L1 FUL

FILE 'CAPLUS' ENTERED AT 08:05:40 ON 18 JUL 2009

L4 116 S L3

FILE 'REGISTRY' ENTERED AT 08:05:56 ON 18 JUL 2009 1.5 STRUCTURE UPLOADED 1.6 247 S L5 SUB=L3 FUL

=> s 13 not 16 73 L3 NOT L6

=> fil capl COST IN U.S. DOLLARS

SINCE FILE TOTAL SESSION ENTRY FULL ESTIMATED COST 46.88 233.48

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1 US20070135460/PN L10 2 L9 NOT US20070135460/PN

=> d 110 ibib hitstr abs 1-2

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:1060779 CAPLUS

DOCUMENT NUMBER: 142 - 38274

TITLE: Preparation of 7H-pyrrolo[2,3-d]pyrimidines as protein

tyrosine kinase inhibitors

INVENTOR(S): Bold, Guido; Capraro, Hans-Georg; Caravatti, Giorgio;

Traxler, Peter

PATENT ASSIGNEE(S): Novartis AG, Switz.

SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S.

Ser. No. 485,747.

CODEN: USXXCO DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA'	TENT :	NO.	KIN	D	DATE			APPLICATION NO.					DATE							
US	US 20040248911						A1 20041209			US 2	004-	20040220								
US	US 7323469					B2 20080129														
WO	2003	0135	41		A1 20030220				WO 2	002-	EP87		20020806							
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,			
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,			
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LT,	LU,			
		LV,	MA,	MD,	MK,	MN,	MX,	NO,	NZ,	OM,	PH,	PL,	PΤ,	RO,	RU,	SE,	SG,			
	SI, SK,			ТJ,	TM,	TN,	TR,	TT,	UA,	US,	UZ,	VC,	VN,	YU,	ZA,	zw				
	RW:	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,			
							GB,													
										US 2004-485747						20040203				
US	7244	729			B2		2007	0717												
PRIORITY APPLN. INFO.:										GB 2001-19249					A 20010807					
						WO 2002-EP8780					W 20020806									

OTHER SOURCE(S):

MARPAT 142:38274

497840-89-8P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses) (claimed compound; preparation of pyrrolopyrimidines as protein tyrosine

US 2004-485747 A2 20040203

kinase inhibitors)

497840-89-8 CAPLUS 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, CN

N-(6-methoxy-3-pyridinyl)-6-[4-(4-morpholinylmethyl)phenyl]- (CA INDEX NAME)

IT 497840-90-1P 497840-91-2P 497840-92-3P 497840-95-6P 497840-93-4P 497840-94-5P 497840-95-6P 497840-95-6P 497840-95-0P 497840-95-0P 497840-96-9P 497841-01-7P 497841-01-7P 497841-02-8P 497841-05-1P 497841-05-1P 497841-05-2P 497841-05-1P 497841-05-2P 497841-05-2P 497841-05-1P 497841-11-9P 497841-11-9P 497841-11-9P 497841-11-5P 497841-11-5P 497841-15-3P 497841-15-3P 497841-15-3P 497841-15-3P 497841-16-6P RL: PRAC (Pharmacological activity); SPN (Synthetic preparation); THU

RE: FAC (Pharmacological activity); SPN (Synthetic preparation); HHU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of pyrrolopyrimidines as protein tyrosine kinase inhibitors)
497840-90-1 CAPLUS

RN 497840-90-1 CAPLUS CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,

N-(6-methoxy-3-pyridiny1)-6-[4-[(4-methyl-1-piperaziny1)methyl]phenyl]-(CA INDEX NAME)

RN 497840-91-2 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-(6-methoxy-3-pyridinyl)- (CA INDEX NAME)

RN 497840-92-3 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-(6-methoxy-3-pyridinyl)-(CA INDEX NAME)

RN 497840-93-4 CAPLUS

CN 2(1H)-Pyridinone, 5-[[6-[4-(4-morpholinylmethyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

RN 497840-94-5 CAPLUS
CN 2(1H)-Pyridinone, 5-[[6-[4-[(dimethylamino)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

- RN 497840-95-6 CAPLUS
- CN 2(1H)-Pyridinone, 5-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7Hpyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

RN 497840-96-7 CAPLUS
CN 2(1H)-Pyridinone, 5-[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

RN 497840-97-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(6-methoxy-3pyridinyl)methyl]- (CA INDEX NAME)

RN 497840-98-9 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(6-methoxy-3-pyridiny1)methy1]-6-[4-(4-morpholiny1methy1)pheny1]- (CA NDEX NAME)

RN 497840-99-0 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
6-[4-[(dimethylamino)methyl]phenyl]-N-[(6-methoxy-3-pyridinyl)methyl](CA INDEX NAME)

CN

RN 497841-00-6 CAPLUS
CN 7R-Pyrrolo[2,3-d]pyrimidin-4-amine,
6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(2-methoxy-4-pyriddinyl)methyl]- (CA INDEX NAME)

RN 497841-01-7 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-[(2-methoxy-4-pyridiny1)methy1]-6-[4-(4-morpholiny1methy1)pheny1]- (CA

N-[(2-methoxy-4-pyridinyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (CA INDEX NAME)

- RN
- 497841-02-8 CAPLUS 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-[(2-methoxy-4-pyridinyl)methyl]-CN (CA INDEX NAME)

- RN 497841-04-0 CAPLUS
- CN 2(1H)-Pyridinone, 5-[[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-7Hpyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

RN 497841-05-1 CAPLUS

CN 2(1H)-Pyridinone, 5-[[[6-[4-[(dimethylamino)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

RN 497841-06-2 CAPLUS

CN 2(1H)-Pyridinone, 5-[[[6-[4-(4-morpholinylmethyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

- RN 497841-07-3 CAPLUS
- CN 2(1H)-Pyridinone, 4-[[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

- RN 497841-08-4 CAPLUS
- CN 2(1H)-Pyridinone, 4-[[[6-[4-(4-morpholinylmethyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

RN 497841-09-5 CAPLUS CN 2(1H)-Pvridinone, 4

2(1H)-Pyridinone, 4-[[[6-[4-[(dimethylamino)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

RN 497841-10-8 CAPLUS

CN 2(1H)-Pyridinone, 4-[[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

RN 497841-11-9 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(2-methoxy-4-pyridiny1)-6-[4-(4-morpholinylmethy1)pheny1]- (CA INDEX NAME)

RN 497841-12-0 CAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-(4-morpholinylmethyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

RN 497841-13-1 CAPLUS

No. 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-(2-methoxy-4-pyridinyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl](CA INDEX NAME)

RN 497841-14-2 CAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

RN 497841-15-3 CAPLUS CN 7H-Pvrrolo[2,3-d]pv:

7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-(2-methoxy-4-pyridinyl)(CA INDEX NAME)

RN 497841-16-4 CAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

RN 497841-17-5 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-(2-methoxy-4-pyridinyl)- (CA INDEX NAME)

RN 497841-18-6 CAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-[(dimethylamino)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

IT 497841-51-7P 497841-52-8P 497841-54-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of pyrrolopyrimidines as protein tyrosine kinase inhibitors)

RN 497841-51-7 CAPLUS

CN Benzoic acid, 4-[4-[[(6-methoxy-3-pyridinyl)methyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]-, ethyl ester (CA INDEX NAME)

RN 497841-52-8 CAPLUS

CN Benzenemethanol, 4-[4-[[(6-methoxy-3-pyridinyl)methyl]amino]-7Hpyrrolo[2,3-d]pyrimidin-6-yl]- (CA INDEX NAME)

RN 497841-54-0 CAPLUS

CN Benzenemethanol, 4-[4-[(2-methoxy-4-pyridinyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]- (CA INDEX NAME)

GΙ

Ι

AB Title compds. [I, R1, R2 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, R4Y(C:1); R4 = (substituted) amino, heterocyclyl, Y = null, alkyl; Z = O, S, imino; R1R2N = heterocyclyl; R3 = heterocyclyl, (substituted) aryl; G = alkylene, CO, alkylenecarbonyl; Q = NH, CO; X = null, alkylene; with provisos], were prepared Thus, (3-chloro-4-fluorophenyl)-[6-[4-(4-ethylpiperazin-1-ylmethyl)phenyl]-7H-pyrrolc[2,3-d]pyrimidin-4-yllamine (preparation outlined) inhibited the tyrosine kinase activity of HER-1, HER-2, and KDR with IC50 = 0.0031 µM, 0.008 µM, and 0.0107 µM, resp.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:133054 CAPLUS DOCUMENT NUMBER: 138:170253

TITLE: Preparation of

4-amino-6-phenyl-pyrrolo[2,3-d]pyrimidines as protein

tyrosine kinase inhibitors

INVENTOR(S): Bold, Guido; Capraro, Hans-Georg; Caravatti, Giorgio;

Traxler, Peter

Novartis AG, Switz.; Novartis Pharma G.m.b.H. PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 95 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

PA.	TENT	NO.			KIN)	DATE			APF	LICA	TION	NO.		D	ATE	
	WO 2003013541												DATE				
							AU,										
							DK,										
							IS,										
							MX.										
							TR,										
	RW:						MD,										
		DK.	EE.	ES.	FT.	FR.	GB.	GR.	TE.	TT	'. I.II	MC.	NI	PT.	SE.	SK.	TR
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EP	1416	935			A1		2004	0512		EP	2002	-7584	37		2	0020	806
ΕP	1416	935			B1		2003 2003 2005 2004 2008	0312									
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		IE,	SI,	LT,	LV,	FI	RO,	MK,	CY,	AL	, TR	, BG,	CZ,	EE,	SK		
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OTHER SOURCE(S): MARPAT 138:170253 497840-89-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 4-amino-6-phenyl-pyrrolo[2,3-d]pyrimidines as protein tyrosine kinase inhibitors)

RN 497840-89-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,

N-(6-methoxy-3-pyridiny1)-6-[4-(4-morpholinylmethy1)pheny1]- (CA INDEX

ΙT 497840-90-1P 497840-91-2P 497840-92-3P 497840-93-4P 497840-94-5P 497840-95-6P 497840-96-7P 497840-97-8P 497840-98-9P 497840-99-0P 497841-00-6P 497841-01-7P 497841-02-8P 497841-03-9P 497841-04-0P 497841-05-1P 497841-06-2P 497841-07-3P 497841-08-4P 497841-09-5P 497841-10-8P 497841-11-9P 497841-12-0P 497841-13-1P 497841-14-2P 497841-15-3P 497841-16-4P 497841-17-5P 497841-18-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-amino-6-phenyl-pyrrolo[2,3-d]pyrimidines as protein

tyrosine kinase inhibitors)

497840-90-1 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidin-4-amine, CM

N-(6-methoxy-3-pyridiny1)-6-[4-[(4-methyl-1-piperaziny1)methyl]phenyl]-(CA INDEX NAME)

RN

RN

497840-91-2 CAPLUS 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-(6-methoxy-3-pyridinyl)- (CA INDEX CN

RN 497840-92-3 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-(6-methoxy-3-pyridinyl)-(CA INDEX NAME)

RN 497840-93-4 CAPLUS
CN 2(HH)-Pyridinone, 5-[[6-[4-(4-morpholinylmethyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

RN 497840-94-5 CAPLUS
CN 2(1H)-Pyridinone, 5-[[6-[4-[(dimethylamino)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

RN 497840-95-6 CAPLUS
CN 2(HH)-Pyridinone, 5-[[6-[4-[(4-methyl-1-piperaziny1)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

RN 497840-96-7 CAPLUS
CN 2(1H)-Pyridinone, 5-[[6-[4-[(4-ethyl-1-piperaziny1)methyl]phenyl]-7Hpyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

RN 497840-97-8 CAPLUS CN

7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(6-methoxy-3pyridinyl)methyl]- (CA INDEX NAME)

RN 497840-98-9 CAPLUS CN

7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(6-methoxy-3-pyridinyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]- (CA INDEX NAME)

RN 497840-99-0 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-[(6-methoxy-3-pyridinyl)methyl]-(CA INDEX NAME)

RN 497841-00-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-[(2-methoxy-4-pyridinyl)methyl]- (CA INDEX NAME)

RN 497841-01-7 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-methoxy-4-pyridiny1)methy1]-6-[4-(4-morpholiny1methy1)pheny1]- (CA INDEX NAME)

RN 497841-02-8 CAPLUS CN 7H-Pvrrolo[2,3-d]pv:

7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-[(2-methoxy-4-pyridinyl)methyl]-(CA INDEX NAME)

- RN 497841-03-9 CAPLUS
- CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
 N-[(2-methoxy-4-pyridiny1)methy1]-6-[4-[(4-methy1-1-piperaziny1)methy1]pheny1]- (CA INDEX NAME)

- RN 497841-04-0 CAPLUS
- CN 2(1H)-Pyridinone, 5-[[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

RN 497841-05-1 CAPLUS

CN 2(1H)-Pyridinone, 5-[[[6-[4-[(dimethylamino)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

RN 497841-06-2 CAPLUS

CN 2(1H)-Pyridinone, 5-[[[6-[4-(4-morpholinylmethyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

- RN 497841-07-3 CAPLUS
- CN 2(1H)-Pyridinone, 4-[[[6-[4-[(4-ethyl-1-piperaziny1)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

- RN 497841-08-4 CAPLUS
- CN 2(1H)-Pyridinone, 4-[[[6-[4-(4-morpholinylmethyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

497841-09-5 CAPLUS CN

2(1H)-Pyridinone, 4-[[[6-[4-[(dimethylamino)methyl]phenyl]-7H-pyrrolo[2,3d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

497841-10-8 CAPLUS

CN $2\,(1\mathrm{H})\,-\mathrm{Pyridinone},\ 4-[[[6-[4-[(4-\mathrm{methyl-1-piperazinyl})\mathrm{methyl}]\mathrm{phenyl}]\,-7\mathrm{H-}]$ pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)

RN 497841-11-9 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(2-methoxy-4-pyridiny1)-6-[4-(4-morpholinylmethy1)pheny1]- (CA INDEX NAME)

RN 497841-12-0 CAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-(4-morpholinylmethyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

RN 497841-13-1 CAPLUS

No. 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-(2-methoxy-4-pyridinyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl](CA INDEX NAME)

RN 497841-14-2 CAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

- RN 497841-15-3 CAPLUS CN 7H-Pvrrolo[2,3-d]pv:
 - 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
 6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-N-(2-methoxy-4-pyridinyl)(CA INDEX NAME)

- RN 497841-16-4 CAPLUS
- CN 2(1H)-Pyridinone, 4-[[6-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

RN 497841-17-5 CAPLUS CN 7H-Pvrrolo[2,3-d]pv:

| 'H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(dimethylamino)methyl]phenyl]-N-(2-methoxy-4-pyridinyl)- (CA INDEX NAME)

RN 497841-18-6 CAPLUS

CN 2(1H)-Pyridinone, 4-[[6-[4-[(dimethylamino)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

IT 497841-51-7P 497841-52-8P 497841-54-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of 4-amino-6-phenyl-pyrrolo[2,3-d]pyrimidines as protein tyrosine kinase inhibitors)

RN 497841-51-7 CAPLUS

CN Benzoic acid, 4-[4-[[(6-methoxy-3-pyridinyl)methyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]-, ethyl ester (CA INDEX NAME)

RN 497841-52-8 CAPLUS

CN Benzenemethanol, 4-[4-[[(6-methoxy-3-pyridinyl)methyl]amino]-7Hpyrrolo[2,3-d]pyrimidin-6-yl]- (CA INDEX NAME)

RN 497841-54-0 CAPLUS

CN Benzenemethanol, 4-[4-[(2-methoxy-4-pyridiny1)amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]- (CA INDEX NAME)

GI

HN C1

AB The title compds. I [R1, R2 = H, alkyl, cycloalkyl, etc.; or NR1R2 = heterocyclyl; R3 = heterocyclyl, (un)substituted aryl; G = alkylene, CO, alkyleneCO wherein the carbonyl group is attached to the NRIR2; Q = NH, O, with the proviso that Q = 0 if G = CO or alkyleneCO; X is either not present or alkylene, with the proviso that a heterocyclic radical R3 is bonded via a ring carbon if X is not present] and their salts, useful for treatment of a disease which responds to an inhibition of a protein tyrosine kinase, especially for the treatment of a proliferative disease, such as a tumor, were prepared and formulated. E.g., a 4-step synthesis of II, starting from Et 4-(4-chloro-7H-pyrrolo[2,3-d]pyrimidni-6-yl)benzoate and 3-chloro-4-fluoroantline, was given. Compds. I were tested for their inhibition of the tyrosine kinase activity of EGF-R (HER-1), ETBB-2 (HER-2) and VEGF receptor (KDR) (data given for 21 exemplified compds.).

ΙI

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT